```
(FILE 'HOME' ENTERED AT 07:40:32 ON 13 MAR 2006)
     FILE 'CAPLUS' ENTERED AT 07:40:41 ON 13 MAR 2006
             46 S SPINA? (L) PRODRUG?
L1
L2
              0 S L1 AND (CNS(L)(SIDE(W)EFFEC?))
L3
              5 S L1 AND CNS
=> s l1 not l3
            41 L1 NOT L3
L4
=> s 14 and (side(w)effec?)
        509325 SIDE
       6547937 EFFEC?
         51514 SIDE(W) EFFEC?
L5
             1 L4 AND (SIDE(W)EFFEC?)
=> d bib hit
     ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
AN
     2003:950045 CAPLUS
DN
     140:770
ΤI
     Administration of acetylcholinesterase inhibitors via intranasal delivery
     to the cerebral spinal fluid for treatment of cognitive disorders
IN
     Quay, Steven C.
     USA
PΑ
     U.S. Pat. Appl. Publ., 23 pp.
SO
     CODEN: USXXCO
DT
     Patent
LA
     English
FAN.CNT 3
     PATENT NO.
                        KIND
                               DATE
                                           APPLICATION NO.
                                                                   DATE
                        ----
     -----
                                -----
                                            -----
ΡI
     US 2003225031
                         A1
                                20031204
                                           US 2003-439108
                                                                   20030515
     CA 2482161
                         AA
                                20040108
                                            CA 2003-2482161
     WO 2004002402
                         A2
                                20040108
                                            WO 2003-US15653
     WO 2004002402
                         A3
                                20041007
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1505971
                          A2
                                20050216
                                           EP 2003-751761
                                                                  20030519
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
     JP 2005532372
                          T2
                                20051027
                                           JP 2004-517563
                                                                  20030519
    US 2004254146
                         A1
                                20041216
                                            US 2004-831031
                                                                   20040423
    US 2006003989
                         A1
                                20060105
                                           US 2005-112950
                                                                   20050422
PRAI US 2002-382122P
                         Р
                                20020521
    US 2003-439108
                         A2
                                20030515
    WO 2003-US15653
                         W
                                20030519
    US 2004-831031
                         A2
                                20040423
AB
    Methods and compns. are disclosed that provide acetylcholinesterase
     inhibitors for the prevention and treatment of diseases and disorders of
     the central nervous system, including dementia such as Alzheimer's
     disease, to the central nervous system via intranasal delivery. The
    methods and compns. of the present invention provide therapeutic concns.
     of the acetylcholinesterase inhibitor in the cerebrospinal fluid of a
```

mammal without the attendant disadvantages, risks and side

٦,

effects of oral or injection delivery.
Drug delivery systems

IT

(prodrugs; administration of acetylcholinesterase inhibitors via intranasal delivery to the cerebral spinal fluid for treatment of cognitive disorders)

```
=> s spina?(1)prodrug?
         82625 SPINA?
         14754 PRODRUG?
            46 SPINA? (L) PRODRUG?
L1
=> s l1 and (cns(l)(side(w)effec?))
         35248 CNS
        509325 SIDE
       6547937 EFFEC?
           502 CNS(L)(SIDE(W)EFFEC?)
             0 L1 AND (CNS(L)(SIDE(W)EFFEC?))
L2
=> s l1 and cns
         35248 CNS
L3
             5 L1 AND CNS
=> d bib hit 5
     ANSWER 5 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
L3
     1999:763302 CAPLUS
AN
     132:73529
DN
     Propofol hemisuccinate protects neuronal cells from oxidative injury
ΤI
     Sagara, Yutaka; Hendler, Sheldon; Khoh-Reiter, Sue; Gillenwater, Gail;
ΑU
     Carlo, Dennis; Schubert, David; Chang, Jennie
     Salk Institute for Biological Studies, La Jolla, CA, 92037, USA
CS
     Journal of Neurochemistry (1999), 73(6), 2524-2530
SO
     CODEN: JONRA9; ISSN: 0022-3042
     Lippincott Williams & Wilkins
PB
DT
     Journal
LA
     English
              THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 58
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     Oxidative stress contributes to the neuronal death observed in
AB
     neurodegenerative disorders and neurotrauma. Some antioxidants for
     CNS injuries, however, have yet to show mitigating effects in
     clin. trials, possibly due to the impermeability of antioxidants across
     the blood-brain barrier (BBB). Propofol (2,6-diisopropylphenol), the
     active ingredient of a commonly used anesthetic, acts as an antioxidant,
     but it is insol. in water. Therefore, we synthesized its water-soluble
     prodrug, propofol hemisuccinate sodium salt (PHS), and tested for its
     protective efficacy in neuronal death caused by non-receptor-mediated,
     oxidative glutamate toxicity. Glutamate induces apoptotic death in rat
     cortical neurons and the mouse hippocampal cell line HT-22 by blocking
     cystine uptake and causing the depletion of intracellular glutathione,
     resulting in the accumulation of reactive oxygen species (ROS). PHS has
     minimal toxicity and protects both cortical neurons and HT-22 cells from
     glutamate. The mechanism of protection is attributable to the
     antioxidative property of PHS because PHS decreases the ROS accumulation
     caused by glutamate. Furthermore, PHS protects HT-22 cells from oxidative
     injury induced by homocysteic acid, buthionine sulfoximine, and hydrogen
     peroxide. For comparison, we also tested \alpha-tocopherol succinate
     (TS) and methylprednisolone succinate (MPS) in the glutamate assay.
     Although TS is protective against glutamate at lower concns. than PHS, TS
     is toxic to HT-22 cells. In contrast, MPS is nontoxic but also
     nonprotective against glutamate. Taken together, PHS, a water-soluble
     prodrug of propofol, is a candidate drug to treat CNS injuries
     owing to its antioxidative properties, low toxicity, and permeability
     across the BBB.
     Spinal cord
IT
        (injury; propofol prodrug propofol hemisuccinate protects
```

neuronal cells from oxidative injury)

```
L3
    ANSWER 1 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
     2004:550943 CAPLUS
AN
DN
     141:106492
ΤI
     Preparation of pyrimidine derivatives for the treatment of abnormal cell
    growth in cancer
     Kath, John Charles; Luzzio, Michael Joseph
IN
PA
    Pfizer Products Inc., USA
SO
    PCT Int. Appl., 148 pp.
     CODEN: PIXXD2
DT
     Patent
    English
LA
FAN.CNT 2
                        KIND
                                           APPLICATION NO.
     PATENT NO.
                               DATE
                                                                  DATE
                                           -----
                        _ - - -
                                                                   ______
                                          WO 2003-IB6055
    WO 2004056786
                        A2
                                20040708
                                                                  20031217
PΤ
     WO 2004056786
                        A3
                                20041021
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
         W:
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO,
            NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         US 2003-734039
                                20041104
                                                                 20031211
     US 2004220177
                         A1
     CA 2510848
                                20040708
                                           CA 2003-2510848
                                                                  20031217
                         AΑ
    AU 2003288603
                         A1
                                20040714
                                          AU 2003-288603
                                                                  20031217
    EP 1578732
                         A2
                                20050928
                                          EP 2003-780443
                                                                  20031217
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                                20051116
                                          BR 2003-17435
                                                                  20031217
     BR 2003017435
                         Α
                         A1
                                20040622
                                           NL 2003-1025071
                                                                   20031218
    NL 1025071
     NL 1025071
                         C2
                                20041230
PRAI US 2002-435670P
                         Ρ
                                20021220
     WO 2003-IB6055
                         W
                                20031217
    MARPAT 141:106492
os
     The title compds. [I; wherein R1 = Q1; wherein D = independently
AΒ
     (un) substituted CH or N, with the proviso that R1 is linked to NH group
     through a ring carbon atom; wherein E, G = N, C; X, W, Q = N, O, S, SO2,
     CO, NR3, CR2, CR2R3; Y and Z are independently present or absent, if
     present, Y, Z = N, O, S, SO2, CO, NR3, CR2 and CR2R3; wherein A is present
     or absent, if present, A = O, S, NH; B is present or absent, if present, B
     = CO, SO2, or NR6, with the proviso that when A is O or S and B is absent;
     n = an integer from 1-3; R2 = H, C1-6 alkyl, C3-7 cycloalkyl, C4-7
     heterocycloalkyl, O-C1-6 alkyl, O-C3-7 cycloalkyl, O-C4-7
     heterocycloalkyl, NH2, NHR6, NR6R7, SR6, SOR6, SO2R6, CO2R6, CONH2,
     CONHR6, CONR6R7, SO2NH2, SO2NHR6, SO2NR6R7, NHCOR6, NR6CONR6, NHCONHR,
     NR6CONHR, NHCONR6R7, NR6CONR6R7, NHSO2R6, NR6SO2R6, etc.; R3 = H, C1-6
     alkyl, C3-7 cycloalkyl, C4-7 heterocycloalkyl, CO2R6, CONH2, CONHR5,
     CONR6R7 or CR2R3 taken together can form a 3-7 membered cycloalkyl ring or
     4-7 membered heterocycloalkyl ring; R4 = H, C1-6 alkyl, C3-7 cycloalkyl,
     C4-7 heterocycloalkyl, C6-10 aryl, 5-10 membered heteroaryl, etc.; R5 = H,
     Br, Cl, cyano, CF3, CH2F, CHF2, SO2Me, CONH2, cyclopropyl, cyclobutyl, Ph,
     CONHR6, CONR6R7, CO2R6, etc.; R6, R7 = group listed in R3] or
     pharmaceutically acceptable salts, prodrugs and solvates thereof
     are prepared These compds. are selective inhibitors of non-receptor FAK
     protein tyrosine kinase (no data). The invention also relates to methods
     of treating abnormal cell growth, in particular cancer, in mammals by
     administering the compds. I and to pharmaceutical compns. containing the
     compds. I for treating such disorders. The said cancer is selected from
```

lung cancer, bone cancer, pancreatic cancer, skin cancer, cancer of the head or neck, cutaneous or intraocular melanoma, uterine cancer, ovarian cancer, rectal cancer, cancer of the anal region, stomach cancer, col on cancer, breast cancer, uterine cancer, carcinoma of the fallopian tubes, carcinoma of the endometrium, carcinoma of the cervix, carcinoma of the vagina, carcinoma of the vulva, Hodgkin's Disease, cancer of the esophagus, cancer of the small intestine, cancer of the endocrine system, cancer of the thyroid gland, cancer of the parathyroid gland, cancer of the adrenal gland, sarcoma of soft tissue, cancer of the urethra, cancer of the penis, prostate cancer, chronic or acute leukemia, lymphocytic lymphomas, cancer of the bladder, cancer of the kidney or ureter, renal cell carcinoma, carcinoma of the renal pelvis, neoplasms of the central nervous system (CNS), primary CNS lymphoma, spinal axis tumors, brain stem glioma, pituitary adenoma, or a combination of one or more of the foregoing cancers. Thus, N-(5-Bromo-2-chloropyrimidin-4-yl)-N-(p-tolyl)amine was aminatred with 4-(5-Amino-1H-indol-3-yl)-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-Bu ester in the presence of triethylamine in dioxane at 100° for 16 h to give 4-[5-[5-Bromo-4-(p-tolylamino)pyrimidin-2-ylamino]-1Hindol-3-yl]-3,6-dihydro-2H-pyridine-1-carboxylic acid tert-Bu ester which was treated with HCl in a mixture of MeOH and dioxane at room temperature for to give 5-Bromo-N2-[3-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indol-5-yl]-N4-(p-tolyl)pyrimidine-2,4-diamine hydrochloride. Central nervous system, disease (primary CNS lymphoma; preparation of pyrimidine derivs. as selective inhibitors of non-receptor tyrosine kinase for treatment of abnormal cell growth, in particular cancers) ANSWER 2 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN 2001:851122 CAPLUS 135:371759 Preparation of N-imidazolylphenyl-5,6-dihydrobenzo[h]quinazolin-4-amines and other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders Yamada, Akira; Spears, Glen; Hayashida, Hisashi; Tomishima, Masaki; Ito, Kiyotaka; Imanishi, Masashi Fujisawa Pharmaceutical Co., Ltd., Japan PCT Int. Appl., 154 pp. CODEN: PIXXD2 Patent English FAN.CNT 1 KIND DATE APPLICATION NO. PATENT NO. DATE -----\_\_\_\_\_\_ \_\_\_\_ 20011122 WO 2001-JP4002 WO 2001087845 A2 20010514 WO 2001087845 **A3** 20020829 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 2001056728 20011126 AU 2001-56728 Α5 20010514 US 2003176454 US 2002-258582 A1 20030918 20021101 PRAI AU 2000-7501 Α 20000515

6 h

IT

L3

AN DN

TΤ

IN

PA

so

DT

LA

PΙ

os

AU 2000-1955

WO 2001-JP4002

MARPAT 135:371759

Preparation of N-imidazolylphenyl-5,6-dihydrobenzo[h]quinazolin-4-amines TI and other N-containing heterocyclic amines as 5-hydroxytryptamine

20001207

20010514

Α

W

```
antagonists for treatment of CNS disorders
     Title compds. AMQNHZ [I; wherein A = H, (un) substituted, unsatd., N-containing
AB
     heterocyclic group, or C(NH)NHR; R = (un)substituted aryl or heterocyclic
     group; M = (CH2)n, (CH2)nO(CH2)m, or (CH2)nNH(CH2)m; n and m =
     independently 0-2; Q = (un) substituted cycloalkylene group, arylene, or
     divalent heterocyclic group; Z = (un)substituted, unsatd., mono-, di-,
     tri-, or tetra-cyclic, N-containing heterocyclic group which may contain
     addnl. N. O. and S atoms as the ring member(s), e.g. indeno[1,2,3-
     delphthalazinyl or 5,6-dihydrobenzo[h]quinazolinyl; and the
     prodrugs or pharmaceutically acceptable salts thereof] were prepared
     For example, a mixture of 4-chloro-5,6-dihydrobenzo[h]quinazoline,
     3-(1,2-dimethyl-1H-imidazol-5-yl)aniline, and 1,3-dimethyl-2-
     imidazolidinone was heated for an hour at 200°C, cooled, treated
     with 1N aqueous NaOH and water, and worked up to give II. I are
     5-hydroxytryptamine (5-HT) antagonists useful for the prevention and/or
     treatment of central nervous system (CNS) disorders, such as
     anxiety, depression, obsessive compulsive disorders, migraine, anorexia,
     Alzheimer's disease, sleep disorders, bulimia, panic attacks, withdrawal
     from drug abuse, schizophrenia, and disorders associated with spinal
     trauma and/or head injury (no data).
    Drugs of abuse
IT
        (abuse of, treatment of withdrawal; preparation of N-
        (imidazolylphenyl)dihydrobenzo[h]quinazolinamines and other N-containing
        heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of
        CNS disorders)
    Appetite
IT
        (anorexia nervosa, treatment; preparation of N-(imidazolylphenyl)dihydrobenz
        o[h]quinazolinamines and other N-containing heterocyclic amines as
        5-hydroxytryptamine antagonists for treatment of CNS
        disorders)
TT
    Appetite
        (bulimia, treatment; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quina
        zolinamines and other N-containing heterocyclic amines as
        5-hydroxytryptamine antagonists for treatment of CNS
        disorders)
    Sleep
TT
        (disorder, treatment; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quin
        azolinamines and other N-containing heterocyclic amines as
        5-hydroxytryptamine antagonists for treatment of CNS
        disorders)
TΤ
    Head
        (injury, treatment; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinaz
        olinamines and other N-containing heterocyclic amines as
        5-hydroxytryptamine antagonists for treatment of CNS
        disorders)
    Mental disorder
IT
        (obsession-compulsion, treatment; preparation of N-
        (imidazolylphenyl)dihydrobenzo[h]quinazolinamines and other N-containing
        heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of
        CNS disorders)
IT
    Anxiety
        (panic disorder, treatment; preparation of N-(imidazolylphenyl)dihydrobenzo[
        h]quinazolinamines and other N-containing heterocyclic amines as
        5-hydroxytryptamine antagonists for treatment of CNS
        disorders)
     Anti-Alzheimer's agents
TТ
     Antidepressants
     Antimigraine agents
     Anxiolytics
     Nervous system agents
        (preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and
        other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists
        for treatment of CNS disorders)
IT
    Spinal cord
```

olinamines and other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders) IT Schizophrenia (treatment; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamin es and other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists for treatment of CNS disorders) 3435-26-5P, 4-Chloro-6-phenylpyrimidine 19571-30-3P. 4-Phenylisoquinoline 21977-72-0P, 2-[(Dimethylamino)methylene]cyclohepta 34551-41-2P, 1-Chloro-5-bromoisoquinoline 32003-14-8P 36999-81-2P, Indeno[1,2,3-de]phthalazin-3(2H)-one 40848-53-1P, 1-Benzyl-4-chlorophthalazine 56913-94-1P, N-(3-Nitrophenyl)-N'-(2pyridylmethyl) thiourea 65810-96-0P, 1-Chloro-4-phenylisoquinoline 65811-00-9P, 4-Phenylisoquinoline-2-oxide 112101-60-7P, Methyl 4-oxo-4,5,6,7-tetrahydro-1-benzothiophene-5-carboxylate 114686-05-4P, Methyl 7-oxo-4,5,6,7-tetrahydro-1-benzothiophene-6-carboxylate 127056-45-5P, tert-Butyldimethylsilyl 1H-imidazol-4-ylmethyl ether 134722-25-1P, 5,6-Dihydro[1]benzoxepino[5,4-d]pyrimidin-4-ol 134722-26-2P, 4-Chloro-5,6-dihydro[1]benzoxepino[5,4-d]pyrimidine 213837-41-3P, 1-[(Dimethylamino)methylene]-1,3-dihydro-2H-inden-2-one 223671-17-8P, 5-Bromoisoquinoline-2-oxide 223671-29-2P, 1-Chloro-5-phenylisoquinoline 361548-81-4P, 3-(1,2-Dimethyl-1H-imidazol-5-yl)-5-methoxyaniline 361550-34-7P 361551-64-6P, 3-(1,2-Dimethyl-1Himidazol-5-yl)-5-fluoroaniline 374554-24-2P, (3-Nitrophenyl)(5phenylisoquinolin-1-yl)amine 374554-25-3P, (3-Aminophenyl) (5-374554-27-5P, [3-(5-Phenylisoquinolin-1phenylisoquinolin-1-yl)amine ylamino)benzyl]carbamic acid benzyl ester 374554-28-6P, (3-Aminomethylphenyl) (5-phenylisoquinolin-1-yl)amine 374554-29-7P, 5-(Thiophen-3-yl)isoquinoline 374554-30-0P, 5-(Thiophen-3yl)isoquinoline 2-oxide 374554-31-1P, 1-Chloro-5-(thiophen-3yl)isoquinoline 374554-32-2P, (5-Bromoisoquinolin-1-yl)(3nitrophenyl)amine 374554-33-3P, (3-Aminophenyl)(5-bromoisoquinolin-1-374554-34-4P, (3-Nitrophenyl) (isoquinolin-1-yl) amine 374554-35-5P, (3-Aminophenyl) (isoquinolin-1-yl) amine 374554-36-6P, 5-(4-Fluorophenyl)isoquinoline 374554-37-7P, 5-(4-Fluorophenyl)isoquinoline-2-oxide 374554-38-8P, 1-Chloro-5-(4fluorophenyl)isoquinoline 374554-40-2P, N-(Benzo[d]isoxazol-3-yl)benzene-374554-45-7P, 3-Bromo-2-fluoro-N-hydroxy-N'-(3-1,3-diamine nitrophenyl)benzamidine 374554-47-9P, (7-Bromobenzo[d]isoxazol-3-yl)(3-374554-49-1P, (3-Nitrophenyl)-(7nitrophenyl)amine phenylbenzo[d]isoxazol-3-yl)amine 374554-50-4P, N-(7-Phenylbenzo[d]isoxazol-3-yl)benzene-1,3-diamine 374554-52-6P, N-(7-Bromobenzo[d]isoxazol-3-yl)benzene-1,3-diamine 374554-56-0P, 1-Chloro-5-(pyrrol-1-yl)isoquinoline 374554-58-2P, 1-[3-(Quinolin-2-374554-59-3P, 2-Bromo-1-[3-(quinolin-2ylamino) phenyl] ethanone ylamino)phenyl]ethanone 374554-60-6P 374554-61-7P 374554-62-8P 374554-63-9P 374554-64-0P, 5,6-Dihydrothieno[2,3-h]quinazolin-4-ol 374554-65-1P, 4-Chloro-5,6-dihydrothieno[2,3-h]quinazoline 374554-66-2P, 5,6-Dihydrothieno[3,2-h]quinazolin-4-ol 374554-67-3P, 4-Chloro-5,6-dihydrothieno[3,2-h]quinazoline 374554-68-4P, [3-(2,3-Dimethyl-3H-imidazol-4-yl)phenyl]thiourea 374554-69-5P, 1-Benzoyl-3-[3-(4,5-dimethylimidazol-1-yl)phenyl]thiourea 374554-71-9P, [6-(2-Methylpyridin-3-yloxy)pyridin-3-yl]thiourea 374554-72-0P 374554-73-1P 374554-74-2P 374554-75-3P, 4-Chloro-6-(thiophen-2-374554-78-6P, N-[3-(2,3-Dimethyl-3Hyl)pyrimidine 374554-76-4P imidazol-4-yl)phenyl]guanidine dihydrochloride 374554-80-0P, 374554-81-1P, 9-Methoxy-5,6-dihydrobenzo[h]quinazolin-4-ol 4-Chloro-9-methoxy-5,6-dihydrobenzo[h]quinazoline 374554-82-2P, 9-Methyl-4,5-dihydro[1]benzoxepino[5,4-c]isoxazol-3-ol 374554-83-3P 374554-84-4P, 4,5-Dihydro[1]benzoxepino[5,4-c]isoxazol-3-amine 374554-85-5P, 1-Bromo-3-(1,2-dimethylimidazol-5-yl)benzene 374554-86-6P, N-Formyl-3-(imidazol-1-yl)aniline 374554-87-7P, N-[3-(Imidazol-1-

yl)phenyl]-N-(5-nitropyridin-2-yl)formamide 374554-88-8P,

(trauma, treatment; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinaz

```
N-[3-(Imidazol-1-yl)phenyl]-N-[5-(pyrrol-1-yl)pyridin-2-yl]formamide
374554-90-2P, 5-Chloro-N-(6-fluorobenzo[d]isoxazol-3-yl)benzene-1,3-
          374554-91-3P, 5-Chloro-N-(6-chlorobenzothiazol-2-yl)benzene-1,3-
diamine
          374554-92-4P
                        374554-93-5P, 3-Bromo-N-[3-(1,2-dimethyl-1H-
                                          374554-94-6P,
imidazol-5-yl)phenyl]-2-fluorobenzamide
N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-2-fluoro-3-(3-
thienyl)benzamide 374554-95-7P, N-[3-(1,2-Dimethyl-1H-imidazol-5-
yl)phenyl]-2-fluoro-3-(2-thienyl)benzamide
                                            374554-96-8P,
3-Bromo-N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-2-fluoro-N'-
hydroxybenzenecarboximidamide
                               374554-97-9P, N-[3-(1,2-Dimethyl-1H-
imidazol-5-yl)phenyl]-2-fluoro-N'-hydroxy-3-(2-
thienyl) benzenecarboximidamide
                                374554-98-0P, N-[3-(1,2-Dimethyl-1H-
imidazol-5-yl)phenyl]-2-fluoro-N'-hydroxy-3-(3-
thienyl) benzenecarboximidamide
                                374554-99-1P, 3-Bromo-N-[3-(1,2-dimethyl-
1H-imidazol-5-yl)phenyl]-2-fluorobenzenecarbohydrazonamide
                                                            374555-00-7P,
Methyl 2-fluoro-3-(2-thienyl)benzoate
                                      374555-01-8P, Methyl
                                 374555-02-9P, 2-Fluoro-3-(2-
2-fluoro-3-(3-thienyl)benzoate
thienyl)benzoic acid 374555-03-0P, 2-Fluoro-3-(3-thienyl)benzoic acid
374555-04-1P, N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-N'-(2-
pyridylmethyl)thiourea 374555-05-2P, N-[[3-Chloro-5-(trifluoromethyl)-2-
pyridyl]methyl]-N'-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]thiourea
374555-06-3P, 3-[(3-Nitrophenyl)amino]imidazo[1,5-a]pyridine
               374555-08-5P, 8-(2-Thienyl)-4-quinazolinol
374555-07-4P
                                                            374555-09-6P,
1-Chloro-4-(4-fluorobenzyl)phthalazine 374555-10-9P,
4-Benzyl-1-chloroisoquinoline
                              374555-11-0P, 4-(2-
Thienylmethyl) isoquinoline
                            374555-13-2P, 1-Chloro-4-(2-
thienylmethyl)isoquinoline
                             374555-14-3P, 7-(3-Thienyl)-1H-indole-2,3-
        374555-15-4P, 2-Amino-3-(3-thienyl)benzoic acid
                                                          374555-17-6P,
4-Chloro-8-(3-thienyl)quinazoline
                                   374555-18-7P, Methyl
7-fluoro-1-oxo-1,2,3,4-tetrahydro-2-naphthalenecarboxylate
                                                             374555-19-8P,
9-Fluoro-5,6-dihydrobenzo[h]quinazolin-4-ol 374555-20-1P,
4-[[(tert-Butyldimethylsilyl)oxy]methyl]-1-(3-nitrophenyl)-1H-imidazole
374555-21-2P, 3-[4-[[(tert-Butyldimethylsilyl)oxy]methyl]-1H-imidazol-1-
yl]aniline
           374555-96-1P, 3-(4,5-Dimethylimidazol-1-yl)phenylthiourea
384340-98-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
   (intermediate; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolina
   mines and other N-containing heterocyclic amines as 5-hydroxytryptamine
   antagonists for treatment of CNS disorders)
374556-66-8P, N-[3-[4-[[[tert-Butyldimethylsilyl]oxy]methyl]-1H-imidazol-1-
yl]phenyl]-5,6-dihydrobenzo[h]quinazolin-4-amine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT
(Reactant or reagent); USES (Uses)
   (preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and
   other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists
   for treatment of CNS disorders)
374555-22-3P, N-[3-(5-Phenylisoquinolin-1-ylamino)phenyl]benzamidine
374555-23-4P, 4-Fluoro-N-[3-(5-phenylisoquinolin-1-
ylamino)phenyl]benzamidine 374555-24-5P, [6-(2-Methylpyridin-3-
yloxy)pyridin-3-yl](5-phenylisoquinolin-1-yl)amine 374555-25-6P,
(3-Imidazol-1-ylphenyl) (5-phenylisoquinolin-1-yl) amine
                                                         374555-26-7P,
[3-[(1H-Benzimidazol-2-ylamino)methyl]phenyl](5-phenylisoquinolin-1-
           374555-27-8P, [3-[(1-Methyl-1H-benzimidazol-2-
ylamino)methyl]phenyl](5-phenylisoquinolin-1-yl)amine
                                                        374555-28-9P,
[3-(Imidazol-1-yl)phenyl] [5-(thiophen-3-yl)isoquinolin-1-yl]amine
374555-29-0P, [3-(Pyrimidin-5-yl)phenyl][5-(thiophen-3-yl)isoquinolin-1-
          374555-30-3P, [5-(Thiophen-3-yl)isoquinolin-1-yl]-[3-
([1,2,4]triazol-1-yl)phenyl]amine 374555-31-4P, [3-(2,3-Dimethyl-3H-
imidazol-4-yl)phenyl][5-(thiophen-3-yl)isoquinolin-1-yl]amine
374555-32-5P, [6-(2-Methylpyridin-3-yloxy)pyridin-3-yl](5-thiophen-3-
ylisoquinolin-1-yl)amine 374555-33-6P, [4-Methyl-3-(pyrimidin-5-
```

TΤ

TΤ

```
yl)phenyl][5-(thiophen-3-yl)isoquinolin-1-yl]amine
                                                     374555-34-7P,
(5-Bromoisoquinolin-1-yl) [3-(imidazol-1-yl)phenyl]amine
                                                         374555-35-8P,
(5-Bromoisoquinolin-1-yl) [3-(2,3-dimethyl-3H-imidazol-4-yl)phenyl]amine
374555-36-9P, [3-(2,3-Dimethyl-3H-imidazol-4-yl)phenyl][5-(4-
fluorophenyl)isoquinolin-1-yl]amine 374555-37-0P, (5-Bromoisoquinolin-1-
                                     374555-38-1P, N-[3-(5-
yl) [3-(pyrimidin-5-yl)phenyl]amine
Bromoisoquinolin-1-ylamino)phenyl]benzamidine
                                              374555-40-5P,
(5-Bromoisoquinolin-1-yl) [6-(2-methylpyridin-3-yloxy)pyridin-3-yl]amine
374555-41-6P, (Isoquinolin-1-yl)[6-(2-methylpyridin-3-yloxy)pyridin-3-
           374555-42-7P, N-[3-(Isoquinolin-1-ylamino)phenyl]benzamidine
374555-43-8P, [3-(Imidazol-1-yl)phenyl](4-phenylisoquinolin-1-yl)amine
374555-44-9P, [3-(Imidazol-1-yl)phenyl][5-(4-fluorophenyl)isoquinolin-1-
          374555-45-0P, N-[3-(Benzo[d]isoxazol-3-
ylamino)phenyl]benzamidine
                             374555-46-1P
                                            374555-47-2P,
N-[3-(Benzo[d]isoxazol-3-ylamino)phenyl]benzamidine methanesulfonate
374555-48-3P, N-[3-(Benzo[d]isoxazol-3-ylamino)phenyl]thiophene-2-
carboxamidine
               374555-49-4P, N-[3-(7-Phenylbenzo[d]isoxazol-3-
                            374555-50-7P, N-[3-[(7-Bromobenzo[d]isoxazol-
ylamino) phenyl] benzamidine
3-yl)amino]phenyl]benzamidine
                               374555-51-8P, (Benzo[d]isoxazol-3-yl)[6-(2-
methylpyridin-3-yloxy)pyridin-3-yl]amine
                                          374555~52~9P,
[5-(Pyrrol-1-yl)isoquinolin-1-yl]-[3-([1,2,4]triazol-1-yl)phenyl]amine
374555-53-0P, [3-(2,3-Dimethyl-3H-imidazol-4-yl)phenyl][5-(pyrrol-1-
yl)isoquinolin-1-yl]amine
                           374555-54-1P, [6-(2-Methylpyridin-3-
yloxy)pyridin-3-yl][5-(pyrrol-1-yl)isoquinolin-1-yl]amine
                                                            374555-55-2P,
[4-Methyl-3-(pyrimidin-5-yl)phenyl] [5-(pyrrol-1-yl)isoquinolin-1-yl]amine
374555-56-3P, [3-(1-Methylimidazol-5-yl)phenyl](quinolin-2-yl)amine
374555-57-4P, [3-(1-Methylimidazol-5-yl)phenyl](isoquinolin-1-yl)amine
374555-58-5P, (4-Benzylphthalazin-1-yl)(3-imidazol-1-ylphenyl)amine
374555-59-6P, N,N'-Di(isoquinolin-1-yl)butane-1,4-diamine
                                                           374555-60-9P,
N, N'-Di (isoquinolin-1-yl)-trans-cyclohexane-1, 4-diamine
                                                          374555-61-0P,
(Indeno[1,2,3-de]phthalazin-3-yl)[3-(imidazol-1-yl)phenyl]amine
374555-62-1P, (Indeno[1,2,3-de]phthalazin-3-yl)[3-(isoquinolin-1-
                           374555-63-2P, N-[3-(Indeno[1,2,3-
ylaminomethyl)phenyl]amine
de]phthalazin-3-ylamino)phenyl]benzamidine hydroiodide
                                                         374555-64-3P,
(Indeno[1,2,3-de]phthalazin-3-yl)[3-(2,3-dimethyl-3H-imidazol-4-
yl)phenyl]amine
                 374555-65-4P, [Indeno[1,2,3-de]phthalazin-3-yl][3-(1-
                                   374555-66-5P, [3-(Imidazol-1-
methylimidazol-5-yl)phenyl]amine
yl)phenyl](isoquinolin-1-yl)amine
                                    374555-67-6P, [3-(Imidazol-1-
                                   374555-68-7P, N-(Indeno[1,2,3-
yl)phenyl](phthalazin-1-yl)amine
de]phthalazin-3-yl)-N'-(isoquinolin-1-yl)butane-1,4-diamine
374555-69-8P, N-[3-Chloro-5-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-5,6-
dihydrobenzo[h]quinazolin-4-amine
                                   374555-70-1P, N-[3-(1,2-Dimethyl-1H-
imidazol-5-yl)-5-fluorophenyl]-5,6-dihydrobenzo[h]quinazolin-4-amine
374555-71-2P, N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-5,6-
dihydrobenzo[h]quinazolin-4-amine
                                    374555-72-3P, N-[3-(4,5-Dimethyl-1H-
imidazol-1-yl)phenyl]-5,6-dihydrobenzo[h]quinazolin-4-amine
374555-73-4P, 3-Chloro-N5-[5,6-dihydrobenzo[h]quinazolin-4-y1]-N2-(2-
pyridylmethyl) -2,5-pyridinediamine
                                     374555-74-5P, N-[6-[(2-Methyl-3-
pyridyl)oxy]-3-pyridyl]-5,6-dihydrobenzo[h]quinazolin-4-amine
374555-75-6P, N-[3-(4-Methyl-1H-imidazol-1-yl)phenyl]-5,6-
                                    374555-76-7P, N-[3-(1H-1,2,4-Triazol-1-
dihydrobenzo[h]quinazolin-4-amine
yl)phenyl]-5,6-dihydrobenzo[h]quinazolin-4-amine
                                                  374555-77-8P,
N-[3-(5-Pyrimidinyl)phenyl]-5,6-dihydrobenzo[h]quinazolin-4-amine
374555-78-9P, N-[4-Methyl-3-(5-pyrimidinyl)phenyl]-5,6-
dihydrobenzo[h]quinazolin-4-amine 374555-79-0P, N-[3-(1,2-Dimethyl-1H-
imidazol-5-yl)phenyl]-5,6-dihydrothieno[2,3-h]quinazolin-4-amine
374555-80-3P, N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-5,6-
dihydrothieno[3,2-h]quinazolin-4-amine
                                        374555-81-4P,
N-[3-(4,5-Dimethyl-1H-imidazol-1-yl)phenyl]-5,6-dihydrothieno[2,3-
h]quinazolin-4-amine
                      374555-83-6P, [4-(5-Chloro-2-methoxyphenyl)thiazol-
2-yl][3-(imidazol-1-yl)phenyl]amine 374555-84-7P, [4-(5-Chloro-2-
methoxyphenyl)thiazol-2-yl][3-(imidazol-1-yl)phenyl]amine hydrobromide
374555-85-8P, [4-(2-Chlorophenyl)thiazol-2-yl][3-(imidazol-1-
yl)phenyl]amine
                374555-86-9P, [4-(4-Chlorophenyl)thiazol-2-yl][3-
```

ţ

```
(imidazol-1-yl)phenyl]amine
                              374555-87-0P, [4-(3-Chlorophenyl)thiazol-2-
yl] [3-(imidazol-1-yl)phenyl]amine
                                    374555-88-1P, [4-(5-Chlorothiophen-2-
yl)thiazol-2-yl][3-(imidazol-1-yl)phenyl]amine
                                                 374555-89-2P,
[3-(Imidazol-1-yl)phenyl](5-phenylthiazol-2-yl)amine
                                                       374555-90-5P,
(4-Phenylthiazol-2-yl) [3-(imidazol-1-yl)phenyl]amine
                                                       374555-91-6P,
[3-(2,3-Dimethyl-3H-imidazol-4-yl)phenyl] (5-phenylthiazol-2-yl)amine
374555-92-7P, (4,5-Dihydronaphtho[2,1-d]thiazol-2-yl)[3-(2,3-dimethyl-3H-
imidazol-4-yl)phenyl]amine
                           374555-93-8P, [3-(4,5-Dimethylimidazol-1-
yl)phenyl](5-phenylthiazol-2-yl)amine
                                       374555-95-0P, [3-(4,5-
Dimethylimidazol-1-yl)phenyl][5-(2-methoxyphenyl)thiazol-2-yl]amine
374555-97-2P, (4,5-Dihydronaphtho[2,1-d]thiazol-2-yl)[3-(4,5-
dimethylimidazol-1-yl)phenylamine 374555-98-3P, N-[3-(4,5-Dimethyl-1H-
imidazol-1-yl)phenyl]-N-[4H-indeno[2,1-d][1,3]thiazol-2-yl]amine
374555-99-4P, N-[6-(2-Methylpyridin-3-yloxy)pyridin-3-yl]-N-[4H-indeno[2,1-
d][1,3]thiazol-2-yl]amine 374556-00-0P, N-[3-Chloro-5-[4H-indeno[2,1-
d][1,3]thiazol-2-ylamino]phenyl]benzamidine 374556-01-1P,
[3-(2,3-Dimethyl-3H-imidazol-4-yl)phenyl](6-phenylpyrimidin-4-yl)amine
374556-02-2P, [3-(2,3-Dimethyl-3H-imidazol-4-yl)phenyl][6-(thiophen-2-
yl)pyrimidin-4-yl]amine
                         374556-03-3P, [3-(4,5-Dimethylimidazol-1-
yl)phenyl][6-(thiophen-2-yl)pyrimidin-4-yl]amine
                                                   374556-04-4P.
(6-Benzylpyridazin-3-yl) [3-(2,3-dimethyl-3H-imidazol-4-yl)phenyl]amine
374556-05-5P, [5,6-Dihydrobenzo[h]quinazolin-2-yl][3-(2,3-dimethyl-3H-
                            374556-06-6P, [3-(2,3-Dimethyl-3H-imidazol-4-
imidazol-4-yl)phenyl]amine
yl)phenyl]-[7-methoxy-5,6-dihydrobenzo[h]quinazolin-2-yl]amine
374556-08-8P
               374556-09-9P, [3-(Imidazol-1-yl)phenyl]-[7-methoxy-5,6-
dihydrobenzo[h]quinazolin-2-yl]amine 374556-10-2P, [3-(4,5-
Dimethylimidazol-1-yl)phenyl]-[9-methoxy-5,6-dihydrobenzo[h]quinazolin-4-
yl]amine
           374556-11-3P, [9-Methoxy-5,6-dihydrobenzo[h]quinazolin-4-yl][3-
(4-methylimidazol-1-yl)phenyl]amine 374556-12-4P, [3-(2,3-Dimethyl-3H-
imidazol-4-yl)phenyl]-[9-methoxy-5,6-dihydrobenzo[h]quinazolin-4-yl]amine
374556-13-5P, N-[3-(1H-Imidazol-1-yl)phenyl]-9-methyl-4,5-
dihydro[1]benzoxepino[5,4-c]isoxazol-3-amine
                                              374556-15-7P
374556-16-8P, N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-6,7,8,9-
tetrahydro-5H-cyclohepta[d]pyrimidin-2-amine 374556-17-9P,
N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-9H-indeno[2,1-d]pyrimidin-2-
                      374556-19-1P 374556-20-4P, N-[3-(Imidazol-1-
       374556-18-0P
yl)phenyl]-N-[5-(pyrrol-1-yl)pyridin-2-yl]amine
                                                374556-21-5P
374556-22-6P, N-[3-Chloro-5-[(6-fluorobenzo[d]isoxazol-3-
yl)amino]phenyl]benzamidine 374556-23-7P, [3-(4,5-Dimethylimidazol-1-
yl)phenyl][5-(thiophen-3-yl)isoquinolin-1-yl]amine
                                                    374556-24-8P,
[3-(4-Methylimidazol-1-yl)phenyl] [5-(thiophen-3-yl)isoquinolin-1-yl]amine
374556-25-9P, (6-Chlorobenzothiazol-2-yl)[3-(4,5-dimethylimidazol-1-
yl)phenyl]amine
                 374556-26-0P, N-[3-Chloro-5-[(6-chlorobenzothiazol-2-
yl)amino]phenyl]benzamidine 374556-27-1P, N-[3-(1,2-Dimethyl-1H-imidazol-
5-yl)phenyl]-8-(trifluoromethyl)-4-quinazolinamine 374556-28-2P,
N-[3-(4,5-Dimethyl-1H-imidazol-1-yl)phenyl]-8-(trifluoromethyl)-4-
quinazolinamine
                 374556-29-3P, N-[3-(1,2-Dimethyl-1H-imidazol-5-
yl)phenyl]-7-(trifluoromethyl)-4-quinazolinamine
                                                 374556-30-6P,
N-[3-(4,5-Dimethyl-1H-imidazol-1-yl)phenyl]-7-(trifluoromethyl)-4-
quinazolinamine
                 374556-31-7P
                               374556-32-8P
                                              374556-33-9P
              374556-35-1P, N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-
374556-34-0P
1,2-benzo[d]isoxazol-3-amine 374556-37-3P, 7-Bromo-N-[3-(1,2-dimethyl-1H-
imidazol-5-yl)phenyl]-1,2-benzo[d]isoxazol-3-amine
                                                    374556-38-4P,
N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-7-(2-thienyl)-1,2-
benzo[d]isoxazol-3-amine 374556-39-5P, N-[3-(1,2-Dimethyl-1H-imidazol-5-
yl)phenyl]-7-(3-thienyl)-1,2-benzo[d]isoxazol-3-amine 374556-40-8P,
N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-7-(4-fluorophenyl)-1,2-
benzo[d]isoxazol-3-amine 374556-41-9P, N-[3-(1,2-Dimethyl-1H-imidazol-5-
yl)phenyl]imidazo[1,5-a]pyridin-3-amine
                                        374556-42-0P,
8-Chloro-N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-6-
(trifluoromethyl)imidazo[1,5-a]pyridin-3-amine 374556-43-1P
374556-44-2P, 7-Bromo-N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-1H-
                 374556-46-4P, N-[3-(4-Methyl-1H-imidazol-1-yl)phenyl]-8-
indazol-3-amine
(2-thienyl)-4-quinazolinamine
                              374556-47-5P, N-[3-(1,2-Dimethyl-1H-
```

į

```
imidazol-5-yl)phenyl]-8-(2-thienyl)-4-quinazolinamine
                                                       374556-48-6P,
N-[3-(4,5-Dimethyl-1H-imidazol-1-yl)phenyl]-8-(2-thienyl)-4-
                 374556-50-0P, N-[3-(3-Methyl-1H-1,2,4-triazol-1-
quinazolinamine
y1)pheny1]-8-(2-thieny1)-4-quinazolinamine 374556-51-1P,
N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-4-(4-fluorobenzyl)-1-
                 374556-52-2P, 4-Benzyl-N-[3-(1,2-dimethyl-1H-imidazol-5-
phthalazinamine
yl)phenyl]-1-phthalazinamine 374556-53-3P, 4-Benzyl-N-[3-(1,2-dimethyl-
1H-imidazol-5-yl)phenyl]-1-isoquinolinamine 374556-54-4P,
4-Chloro-N-[3-(1,2-dimethyl-1H-imidazol-5-yl)phenyl]-1-phthalazinamine
               374556-56-6P, N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-4-
phenoxy-1-phthalazinamine
                          374556-57-7P, N-[3-(1,2-Dimethyl-1H-imidazol-5-
yl)phenyl]-4-(2-thienylmethyl)-1-isoquinolinamine
                                                    374556-58-8P,
N-[3-(1,2-Dimethyl-1H-imidazol-5-yl)phenyl]-8-(3-thienyl)-4-
quinazolinamine 374556-59-9P, N-[3-(4,5-Dimethyl-1H-imidazol-1-
yl)phenyl]-8-(3-thienyl)-4-quinazolinamine
                                            374556-61-3P,
N-[3-(4,5-Dimethyl-1H-imidazol-1-yl)phenyl]-9-fluoro-5,6-
dihydrobenzo[h]quinazolin-4-amine
                                   374556-62-4P, 9-Fluoro-N-[3-(4-methyl-
1H-imidazol-1-yl)phenyl]-5,6-dihydrobenzo[h]quinazolin-4-amine
374556-63-5P, 9-Fluoro-N-[3-(3-methyl-1H-1,2,4-triazol-1-yl)phenyl]-5,6-
dihydrobenzo[h]quinazolin-4-amine 374556-64-6P, 9-Fluoro-N-[3-(1,2-
dimethyl-1H-imidazol-5-yl)phenyl]-5,6-dihydrobenzo[h]quinazolin-4-amine
374556-65-7P, 9-Fluoro-N-[3-(1,2-dimethyl-1H-imidazol-5-yl)-5-
methoxyphenyl]-5,6-dihydrobenzo[h]quinazolin-4-amine
374556-68-0P, N-[3-(4-Methyl-1H-imidazol-1-yl)phenyl]-5,6-
dihydrobenzo[h]quinazolin-4-amine hydrochloride
                                                  374556-69-1P,
N-[3-(4-Methyl-1H-imidazol-1-yl)phenyl]-5,6-dihydrobenzo[h]quinazolin-4-
amine dihydrochloride
                        374556-70-4P
                                       374556-71-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
   (preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and
   other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists
   for treatment of CNS disorders)
50-67-9, 5-HT, biological studies
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL
(Biological study); PROC (Process)
   (preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and
   other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists
   for treatment of CNS disorders)
24464-35-5P, 5-Phenylisoquinoline
                                    374554-43-5P, 3-Bromo-2-fluoro-N-(3-
nitrophenyl) benzamide
RL: SPN (Synthetic preparation); PREP (Preparation)
   (preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamines and
   other N-containing heterocyclic amines as 5-hydroxytryptamine antagonists
   for treatment of CNS disorders)
70-11-1, 2-Bromo-1-phenylethanone
                                    83-33-0, 1-Indanone
                                                          98-03-3,
2-Thiophenecarboxaldehyde
                          98-80-6, Phenylboronic acid
                                                          99-09-2,
                108-36-1, 1,3-Dibromobenzene
3-Nitroaniline
                                               108-45-2,
1,3-Phenylenediamine, reactions
                                  119-65-3, Isoquinoline
3-Aminopyridine
                  502-42-1, Cycloheptanone 532-55-8, N-Benzoyl
isothiocyanate
                 536-38-9, 2-Bromo-1-(4-chlorophenyl)ethanone
Benzal phthalide
                   696-59-3, 2,5-Dimethoxytetrahydrofuran
                                                            1193-21-1,
4,6-Dichloropyrimidine
                       1468-84-4, 5,6-Dihydro-1-benzothiophene-7(4H)-one
1532-97-4, 4-Bromoisoquinoline 1573-92-8, 9-Fluorenone-1-carboxylic acid
1739-84-0, 1,2-Dimethylimidazole 1765-93-1, 4-Fluorophenylboronic acid
1849-02-1, 2-Chloro-1-methyl-1H-benzimidazole
                                                2840-44-0,
7-Fluoro-3,4-dihydro-1(2H)-naphthalenone
                                         3529-82-6, 3-Nitrophenyl
               3622-23-9, 2,6-Dichlorobenzothiazole
isothiocyanate
                                                       3731-51-9,
2-(Aminomethyl)pyridine 4548-45-2, 2-Chloro-5-nitropyridine
4752-10-7, 1,4-Dichlorophthalazine 4857-06-1, 2-Chloro-1H-benzimidazole 4887-95-0, 2,6-Dichlorobenzimidazole 5000-66-8, 2-Bromo-1-(2-
chlorophenyl)ethanone 6160-65-2, 1,1'-Thiocarbonyldiimidazole
6165-68-0, Thiophene-2-boronic acid 6165-69-1, 3-Thiopheneboronic acid
6932-80-5, 1-Chloroindan-2-one 10166-05-9, 4-Benzylisoquinoline
```

į

IT

IT

```
13331-27-6, 3-Nitrophenylboronic acid 13414-95-4, 6,7-Dihydro-1-
benzothiophene-4(5H)-one 16263-52-8, 3-Chlorobenzo[d]isoxazole
16499-58-4, 7-(Trifluoromethyl)-4(3H)-quinazolinone
8-(Trifluoromethyl)-4(3H)-quinazolinone 16927-13-2,
Bromophenylacetaldehyde 19493-44-8, 1-Chloroisoquinoline
7-Iodo-1H-indole-2,3-dione 32673-41-9, 1H-Imidazol-4-ylmethanol
              33786-89-9, 5-Chloro-1,3-benzenediamine 34773-02-9,
hydrochloride
2-Dimethylaminomethylene-3,4-dihydro-2H-naphthalen-1-one 34784-04-8,
5-Bromoisoguinoline
                     41011-01-2, 2-Bromo-1-(3-chlorophenyl)ethanone
41981-24-2, Methyl thiobenzimidate hydroiodide 57711-36-1,
4-Chloro-5,6-dihydrobenzo[h]quinazoline 57731-17-6, 2-Bromo-1-(5-
chlorothiophen-2-yl)ethanone 59918-64-8, Thiophene-2-carboximidothioic
acid methyl ester hydroiodide
                               60906-59-4, 3-Benzyl-6-chloropyridazine
69491-59-4, 3-(Pyrimidin-5-yl)phenylamine 81115-20-0,
1-Chloro-3,4-dihydro-1H-naphthalen-2-one 93500-88-0,
5-0xo-2,3,4,5-tetrahydrobenzo[b]oxepine-4-carbonitrile
                                                        111841-05-5,
2-Bromo-1-(5-chloro-2-methoxyphenyl)ethanone
                                              112677-67-5,
3-(Imidazol-1-yl)aniline 115525-89-8
                                        120072-87-9, 7-Methoxy-1-oxo-
1,2,3,4-tetrahydronaphthalene-2-carboxylic acid methyl ester
120359-17-3, 4-(4-Fluorobenzyl)-1(2H)-phthalazinone 138830-48-5,
3-(4-Methylimidazol-1-yl)phenylamine 145013-05-4 161957-56-8,
3-Bromo-2-fluorobenzoic acid 176032-78-3, 3-([1,2,4]Triazol-1-
               179411-72-4, (3-Amino-5-chlorophenyl) carbamic acid
yl)phenylamine
tert-butyl ester 206551-41-9, Methyl 3-bromo-2-fluorobenzoate
208927-11-1, 3-(1-Methylimidazol-5-yl)aniline 209740-89-6,
2-Dimethylaminomethylene-5-methoxy-3,4-dihydro-2H-naphthalen-1-one
217197-60-9, Ethyl 7-methyl-5-oxo-2,3,4,5-tetrahydrobenz[1]oxepine-4-
carboxylate 223671-26-9, 5-Phenylisoquinoline-2-oxide 251554-29-7,
Ethyl 5-oxo-2,3,4,5-tetrahydro-1-benzoxepine-4-carboxylate
                                                            287382-70-1,
2-Amino-3-(2-thienyl)benzoic acid 333792-46-4, 3-(1,2-Dimethyl-1H-
                      333793-14-9, 4-Methyl-3-(pyrimidin-5-
imidazol-5-yl)aniline
yl)phenylamine
               333793-36-5, 3-(4,5-Dimethylimidazol-1-yl)phenylamine
354764-40-2, 4-Fluorothiobenzimidic acid methyl ester hydroiodide
361548-80-3, 3-(1,2-Dimethyl-1H-imidazol-5-yl)-5-nitrophenyl methyl ether
361548-83-6, 3-Chloro-5-(1,2-dimethyl-1H-imidazol-5-yl)aniline
361549-75-9
            374554-26-4, N-(3-Aminobenzyl)carbamic acid benzyl ester
374554-41-3, 3-Bromo-2-fluorobenzoyl chloride 374554-44-6,
3-Bromo-2-fluoro-N-(3-nitrophenyl)benzimidoyl chloride
                                                       374554-54-8,
5-Amino-1-chloroisoquinoline 374554-89-9, 3-Chloro-6-
fluorobenzo[d]isoxazole 374555-12-1 374555-82-5,
3-(Imidazol-1-yl)phenylthiourea 374555-94-9
                                               374555-96-1,
[3-(4,5-Dimethylimidazol-1-yl)phenyl]thiourea
                                               374556-07-7,
2-Methylsulfinyl-7-methoxy-5,6-dihydrobenzo[h]quinazoline
                                                         374556-45-3,
4-Chloro-8-(2-thienyl)quinazoline 374556-49-7, 3-(3-Methyl-1H-1,2,4-
triazol-1-yl)phenylamine 374556-60-2, 4-Chloro-9-fluoro-5,6-
dihydrobenzo[h]quinazoline 384340-18-5
RL: RCT (Reactant); RACT (Reactant or reagent)
   (reactant; preparation of N-(imidazolylphenyl)dihydrobenzo[h]quinazolinamine
   s and other N-containing heterocyclic amines as 5-hydroxytryptamine
   antagonists for treatment of CNS disorders)
ANSWER 3 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
2001:114996 CAPLUS
134:157582
Method of treating traumatic brain and spinal cord injuries and other
neurogenic conditions using nonsteroidal anti-inflammatory drugs and
naturally occurring conotoxins
Meythaler, Jay M.; Peduzzi, Jean
UAB Research Foundation, USA
PCT Int. Appl., 35 pp.
CODEN: PIXXD2
Patent
English
```

L3

AN

DN

TI

IN

PA

SO

DT

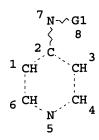
LA

FAN.CNT 1

```
KIND
                               DATE
                                           APPLICATION NO.
                                                                 DATE
     PATENT NO.
                        A1 20010215 WO 2000-US21893 20000810
                        ----
     _____
     WO 2001010455
PΙ
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                               20010215 CA 2000-2379052
20020605 EP 2000-955437
     CA 2379052
                         AΑ
                                                                   20000810
     EP 1210100
                         A1
                                                                  20000810
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
     JP 2003520199
                         T2
                               20030702
                                          JP 2001-514971
                                                                   20000810
                                           NZ 2000-517250
     NZ 517250
                         Α
                                20041224
                                                                   20000810
PRAI US 1999-148068P
                        P
                                19990810
                        W
     WO 2000-US21893
                                20000810
              THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 4
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
IT
     Drug delivery systems
        (prodrugs; NSAID and/or conotoxin for treating traumatic
        brain and spinal cord injuries and other neurogenic
        conditions)
IT
     Blood vessel, disease
        (vasculitis, CNS, neuronal injury from; NSAID and/or
        conotoxin for treating traumatic brain and spinal cord injuries and
        other neurogenic conditions)
     ANSWER 4 OF 5 CAPLUS COPYRIGHT 2006 ACS on STN
L3
     2000:754960 CAPLUS
AN
     134:336242
DN
     Methylprednisolone suleptanate (Pharmacia Corp)
ΤI
ΑU
     Paggiaro, Pierluigi
     University of Pisa Fisiopatologia Respiratoria Ospedale di Cisanello,
CS
     Pisa, 56100, Italy
     Current Opinion in Investigational Drugs (PharmaPress Ltd.) (2000), 1(1),
so
     97-103
     CODEN: COIDAZ
PB
     PharmaPress Ltd.
DT
     Journal; General Review
LA
     English
              THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 79
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
     A review with many refs. Methylprednisolone suleptanate (Promedrol) is a
     prodrug of methylprednisolone being developed by Pharmacia Corp
     (formerly Pharmacia & Upjohn) for the treatment of asthma. It has been
     approved for this indication in Switzerland and is awaiting registration
     in several other countries. Preliminary preclin. data indicated the
     potential use of methylprednisolone suleptanate for the i.v. treatment of
     immunol. disease. Its anti-inflammatory/bronchodilatory effect was
     demonstrated in mice and rats and in a guinea pig model. Animal models
     have also demonstrated the use of methylprednisolome suleptanate for the
     treatment of nephritis and hypotension. Efficacy and safety of pulse
     therapy Promedrol was demonstrated in a phase II trial using lupus
     nephritis patients. The recommended dose for pulse therapy is 400 mg
     equivalent/day i.v. Other studies in lupus patients have shown that doses of
     up to 1000 mg/day are well tolerated and pulse therapy with either 400 or
     800 mg/day are efficacious in delaying the onset of CNS symptoms
     in SLE patients with organic brain disease. Preclin. studies are also taking
     place for the potential treatment of spinal cord injury. In
     Apr. 2000, Morgan Stanley Dean Witter estimated sales would be US $281 million
```

in 2003, rising to \$277 million in 2004.

=> d l1 L1 HAS NO ANSWERS L1 STR



VAR G1=P/O NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

=> s l1 ful FULL SEARCH INITIATED 14:52:24 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 18268 TO ITERATE

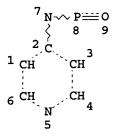
100.0% PROCESSED 18268 ITERATIONS SEARCH TIME: 00.00.01

416 ANSWERS

L3

416 SEA SSS FUL L1

=> d 14 L4 HAS NO ANSWERS L4 STF



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE

=> search 14
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):13
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 14:53:10 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 12 TO ITERATE

100.0% PROCESSED 12 ITERATIONS SEARCH TIME: 00.00.01 9 ANSWERS

L5 9 SEA SUB=L3 SSS FUL L4

AB The invention provides novel pyridines, pharmaceutical compns. comprising such pyridines, and the use of such compns. in treating injured mammalian nerve tissue, including but not limited to an injured spinal cord in one embodiment, the compds., compns., and methods of the instant invention treat a mammalian nerve tissue injury by restoring action potential or nerve impulse conduction through a nerve tissue lesion. Significantly, in vivo application of compds. of the instant invention established, on the basis of SSEP testing, that the compds. provide longer lasting effects at lower concns. than comparable treatment with the known agent 4-aminopyridine (4 AP).

## IT 21915-82-2P 97999-83-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyridines for treating injured mammalian nerve tissue)

- RN 21915-82-2 CAPLUS
- CN Phosphoramidic acid, 4-pyridinyl-, diphenyl ester (9CI) (CA INDEX NAME)

RN 97999-83-2 CAPLUS

CN Phosphinic amide, P, P-diphenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1995:505547 CAPLUS

DN 123:198508

TI Phosphorylated adenine derivatives as potential synthons for antiviral agents

AU El Masri, Marwan; Berlin, K. Darrell

CS Dep. Chem., Oklahoma State Univ., Stillwater, OK, 74078, USA

SO Organic Preparations and Procedures International (1995), 27(2), 161-9 CODEN: OPPIAK; ISSN: 0030-4948

PB Organic Preparations and Procedures, Inc.

DT Journal

LA English

OS CASREACT 123:198508

GI

Phosphorylated adenines I [R = Cl; Rl = H, Me] were prepared from 9-(2-hydroxyethyl)adenine (II) by reaction with ClP(O)(OC6H4Rl-4)2. I [R = Cl] were converted to I [R = N3, pyridylamino]. II was also converted to phosphate esters and phosphonates and phosphates of aniline and 4-aminopyridine were also prepared

IT 21915-82-2P 21966-23-4P 97999-83-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of phosphorylated aniline and aminopyridine)

RN 21915-82-2 CAPLUS

CN Phosphoramidic acid, 4-pyridinyl-, diphenyl ester (9CI) (CA INDEX NAME)

RN 21966-23-4 CAPLUS

CN Phosphoramidic acid, 4-pyridinyl-, bis(4-methylphenyl) ester (9CI) (CA INDEX NAME)

RN 97999-83-2 CAPLUS

CN Phosphinic amide, P, P-diphenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

L6 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1991:594091 CAPLUS

DN 115:194091

TI Processing of silver halide color photographic materials

IN Kobayashi, Hidetoshi; Naruse, Hideaki

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 41 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 02266351	A2	19901031	JP 1989-87755	19890406
PRAT TP 1989-87755		19890406		

AB Ag halide color photog. materials containing ≥1 type of color couplers together with ≥1 non-color-forming compds. selected from R1NHCOR2 (R1 = heterocyclyl, aryl; R2 = alkyl, aryl, heterocyclyl), R3NHSO2R4 (R3, R4 = R2; R3 and R4 can not be alkyl simultaneously), R5NHP(O)R6R7 (R5 = R2; R6, R7 = alkyl, aryl, alkoxy, aryloxy; R6R7 combination may form a

ring), and R8NHYNR9R10 (R8 = R1; R9, R10 = H, R1; Y = C0, S02) are color developed in a benzyl-alc.-free color developer solution. The use of amide compds. as the coupler solvents reduces Dmin without decreasing Dmax even in the absence of benzyl alc. in the developer.

IT 136664-74-9

RL: USES (Uses)

(photog. coupler solvent)

RN 136664-74-9 CAPLUS

CN Phosphoramidic acid, 4-pyridinyl-, dibutyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1984:423604 CAPLUS

DN 101:23604

TI Phosphoric acid ester amides with some 2-aminoheterocyclic compounds

AU Tadzhitdinov, Z. B.; Makhamatkhanov, M. M.; Maksudov, N. Kh.

CS Tashk. Inst. Inzh. Irrig. Mekh. Sel'sk. Khoz., Tashkent, USSR

SO Deposited Doc. (1982), SPSTL 761 Khp-D82, 6 pp. Avail.: SPSTL

DT Report

LA Russian

AB (RO)2P(O)NHR1 [I, R = Ph, p-MeC6H4; R1 = (un)substituted 2-benzothiazolyl, 4-pyridyl, 2-benzoxazolyl, 2-thiazolyl] were prepared in 40.4-82.3 % yields by treating (RO)2P(O)Cl with R1NH2 in the presence of Et3N. I are potential pesticides (no data).

IT 21915-82-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 21915-82-2 CAPLUS

CN Phosphoramidic acid, 4-pyridinyl-, diphenyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1978:424451 CAPLUS

DN 89:24451

TI Searching for new potential pesticides for control of cotton-plant diseases

AU Maksudov, N. Kh.; Makhamatkhanov, M. M.; Aripov, A.; Seitkasymov, Zh.

CS Tashk. Inst. Inzh. Irrig. Mekh. Sel'sk. Khoz., Tashkent, USSR

SO Uzbekskii Khimicheskii Zhurnal (1978), (2), 70-9 CODEN: UZKZAC; ISSN: 0042-1707

ClCH2P(X)RR1 (X = O, S; R, R1 = NHPh, substituted phenylamino, NEtPh, NHCH2Ph, morpholino) (12 compds., yield 40-80%), ClCH2CH2P(O) (OR) OCH2CH2Cl (R = Ph, substituted Ph, cyclohexyl, phthalimidomethyl) (10 compds., yield 40-90%), RR1P(O)CH2CH2SCN (R, R1 = OH, OCH2CH2Cl, substituted phenylamino, OCH2CH2SCN) (9 compds., yield 42-68%), I (X = O, S; n = 1, 2; R = Ph, ClCH2; R1 = Ph, Et2N, Bu2N, PhO, Cl3C6H2O; RR1P = heterocyclic) (12 compds., yield 33-90%), (BuS)2P(X)R (X = O, S; R = heterocyclic, 2-methylisothiourea residue) 7 compds., yield 26.5-57%), II (X = O, S; R = heterocyclic) (8 compds., yield 4.8-67.1%), III (R = H, Ph, PhCH2, substituted phenyl; R1 = H, Me, C6H4OH, Ph, furyl, p-MeOC6H4, 2,4-Cl2C6H3) (15 compds., yield 40-92.4%) were prepared as potential pesticides. Thus, reaction of ClCH2CH2P(O)RR1 with KSCN gave RR1P(O)CH2CH2SCN.

IT 66670-81-3P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as potential pesticides)

RN 66670-81-3 CAPLUS

CN Phosphinic amide, P-methyl-P-4-morpholinyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1977:140164 CAPLUS

DN 86:140164

TI Ester amides of  $\beta$ -chloroethylphosphonic acid

AU Maksudov, N. Kh.; Makhamatkhanov, M. M.; Bakhromova, M. M.; Yuldasheva,

CS Tashk. Inst. Inzh. Irrig. Mekh. Sel'sk. Khoz., Tashkent, USSR

SO Doklady Akademii Nauk UzSSR (1976), (9), 41-4 CODEN: DANUAO; ISSN: 0134-4307

DT Journal

LA Russian

AB Amidation of ClCH2CH2P(0) (OCH2CH2Cl)Cl, prepared from PCl3 and ethylene oxide via sucessive intermediates, P(OCH2CH2Cl)3 and ClCH2CH2P(0) (OCH2CH2Cl)2, with RNH2 gave 25-82% 18 ClCH2CH2P(0) (OCH2CH2Cl)R (R = PhNH, m-, p-toluidino, o-, p-ClC6H4NH, 2,4-Cl2C6H3NH, 1,3-Me2C6H3NH, o-, p-anisidino, p-BrC6H4NH, 7-methyl-2-benzothiazolylamino, 1-benzimidazolyl, piperidino, PhEtN, 2-Me-3-O2NC6H3NH, phthalimido, pyridin-4-ylamino, indolyl).

IT 61293-67-2P

RN 61293-67-2 CAPLUS

CN Phosphonamidic acid, P-(2-chloroethyl)-N-4-pyridinyl-, 2-chloroethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1977:16610 CAPLUS

DN 86:16610

TI Some results of studies on the synthesis of and search for new chemical preparations to control cotton plant diseases

AU Maksudov, N. Kh.

CS Tashk. Inst. Inzh. Irrig. Mekh. Sel'sk. Khoz., Tashkent, USSR

SO Uzbekskii Khimicheskii Zhurnal (1976), (3), 39-53 CODEN: UZKZAC

DT Journal

LA Russian

GI

AB RP(O)(CH2CH2Cl)OCH2CH2Cl (R = substituted anilino, benzotriazolyl, benzimidazolyl, piperidyl, phthalimido, indolyl), I (R = Ph, p-tolyl, p-EtO2CC6H4, o-O2NC6H4, o-MeOC6H4), RC6H4NR2CH2CH(OH)R1 (R = H, o-, m-, p-Me, o-, m-, p-MeO, o-, m-, p-Cl, m-CF3, R1 = H, Me, MeOCH2, R2 = COCHCl2, substituted phenylcarbamoyl, acetyl, PhSO2), II (R = H, Me, Br, R1 = 3,4-C12C6H3, 3-F3CC6H4, 4-ClC6H4, 4-MeOC6H4, 3-O2NC6H4), RNHCOCH:CHCO2H (R = substituted phenyl, 2-thiazolyl, 2-pyridyl), III (R = substituted phenyl), IV (R = H, NO2, Br, R1 = alkenyl, 2-furylvinyl, vinyl, 1-propenyl, chloromethyl, isopropenyl), Et2NCS2R (R = alkenyl, alkyl, Ph, phenylcarbamoylmethyl), and RN:NR1 (R = 2,6-diamino-3-pyridyl, 2,4-diaminophenyl, histidyl, R1 = pyridyl, quinolyl, substituted phenyl)

(156 compds.), useful in control of cotton plant diseases (no data), were prepared by previously published syntheses.

IT 61293-67-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 61293-67-2 CAPLUS

CN Phosphonamidic acid, P-(2-chloroethyl)-N-4-pyridinyl-, 2-chloroethyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1974:413610 CAPLUS

DN 81:13610

TI Phosphorylation of guanidines and aminopyridines

AU Grapov, A. F.; Razvodovskaya, L. V.; Kiselev, L. A.; Mel'nikov, N. N.

CS Vses. Nauchno-Issled. Inst. Khim. Sredstv Zashch. Rast., Moscow, USSR

SO Zhurnal Obshchei Khimii (1974), 44(3), 533-8 CODEN: ZOKHA4; ISSN: 0044-460X

DT Journal

LA Russian

AB MeP(X)RN:CR1R2 (R = Eto, p-ClC6H4O, 2,4-Cl2-C6H3O, Et2N; R1 = Me2N, H; R2 = m-ClC6H4NH, H; X = O, S) were prepared in 24-89% yields by treatment of MeP(X)RCl with an appropriate guanidine. Similarly 16-72% MeP(X)-RNHR1 (R = p-ClC6H4O, Eto, Pho, Et2N, 2,4,5-Cl3C6H2O; R1 = 2-pyridyl, 4-pyridyl; X = S, O) were obtained.

IT 52726-65-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 52726-65-5 CAPLUS

CN Phosphonamidic acid, P-methyl-N-4-pyridinyl-, phenyl ester (9CI) (CA INDEX NAME)

L6 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1972:153695 CAPLUS

DN 76:153695

TI 3- and 4-Pyridylamidophosphoric bis(ethylenimides)

AU Sazonov, N. V.; Safonova, T. S.; Minakova, S. M.; Chernov, V. A.

CS Vses. Nauchno-Issled. Khim.-Farm. Inst. im. Ordzhonikidze, Moscow, USSR

SO Khimiko-Farmatsevticheskii Zhurnal (1972), 6(3), 18-21

CODEN: KHFZAN; ISSN: 0023-1134

DT Journal

LA Russian

AB 2,6- and 2,5-Dichloro-3-aminopyridine were converted to the corresponding 3-pyridylamidophosphoric bis(ethylenimides) by successive treatment with PCl5, anhydrous HCO2H, and ethylenimine containing Et3N. Nine substituted 4-pyridylamido-phosphoric bis(ethylenimides) were prepared analogously.

IT 35981-56-7P

RN 35981-56-7 CAPLUS

CN Phosphinic amide, P,P-bis(1-aziridinyl)-N-4-pyridinyl- (9CI) (CA INDEX NAME)

L6 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1969:87504 CAPLUS

DN 70:87504

TI Amidophosphates of the pyridine series

AU Dregval, G. F.; Martynyuk, A. P.; Kovalenko, N. V.

CS Donets. Filial Vses. Nauch.-Issled Inst. Khim. Reaktiv. Osobo Chist. Khim. Veshch., Donetsk, USSR

SO Khim. Geterotsikl. Soedin., Sb. 1: Azotsoderzhashchie Geterotsikly (1967), 236-9. Editor(s): Hillers, S. Publisher: Izd. "Zinatne", Riga, USSR.

CODEN: 20NNA2

DT Conference

LA Russian

GI For diagram(s), see printed CA Issue.

2-Aminopyridine (I) and 4-aminopyridine (II) underwent condensation with AΒ (ArO)2P(X)Cl (III) in the presence of Et3N to give amidophosphates IV and V, resp. Reaction of 2 moles I with 1 mole (RO)P(X)Cl2 (VI) gave amidophosphates VII. No attack on the ring N occurred. To an ice-cold, stirred solution of 0.1 mole I and 0.1 mole Et3N in 40 ml. C6H6 was added 0.1 mole III in 15 ml. C6H6. The mixture was heated on the steam bath 2.5 hrs. to give the following IV (Ar, X, % yield, and m.p. given): Ph, O, 62, 145-6°; Ph, S, 32, 103-4°; p-MeC6H4, O, 46, 169-71°; p-MeC6H4, S, 61, 128-9°. To a stirred suspension of 0.1 mole II and 0.1 mole Et3N in 30 ml. PhMe was added 0.1 mole III in 20 ml. PhMe. The mixture was refluxed 3 hrs. to give the following V (Ar, X, % yield, and m.p. given): Ph, O, 76, 190-1°; Ph, S, 70, 151-2°; p-MeC6H4, O, 37, 215-16°. To a stirred, cooled solution of 0.2 mole I and 0.2 mole Et3N in 30 ml. PhMe was added 0.1 mole VI in 15-20 ml. PhMe, and the mixture was heated on the steam bath 2 hrs. to give the following VII (R, X, % yield, and m.p. given): PhO, O, 66, 192-3°; PhO, S, 60, 171-2°; p-MeC6H4, O, 89, 168-9° (VIII); p-MeC6H4O, S, 33, 170-2°; Ph, O, 38, 212-14°. To a solution of 0.1 mole I and 0.2 mole Et3N in 20 ml. PhMe was added a solution of 0.1 mole

(p-MeC6H4O) P(O) Cl2 in 15 ml. PhMe, and the mixture was heated on the steam bath for 2 hrs. to give 32% VIII.

IT 21915-82-2P 21966-23-4P

RN 21915-82-2 CAPLUS

CN Phosphoramidic acid, 4-pyridinyl-, diphenyl ester (9CI) (CA INDEX NAME)

RN 21966-23-4 CAPLUS

CN Phosphoramidic acid, 4-pyridinyl-, bis(4-methylphenyl) ester (9CI) (CA INDEX NAME)

L6 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1963:409108 CAPLUS

DN 59:9108

OREF 59:1677a-d

TI Phosphoric acid amides

AU Gutmann, V.; Moertl, G.; Utvary, K.

CS Tech. Hochschule, Vienna

SO Monatshefte fuer Chemie (1962), 93, 1114-16 CODEN: MOCMB7; ISSN: 0026-9247

DT Journal

LA Unavailable

OS CASREACT 59:9108

AB Primary and secondary amines with diphenylphosphorus2POCl (I), with a tertiary amine, C5H5N, or the applied amine itself in excess as acid acceptor gave new amides which were insol. in H2O and could therefore be easily separated from by-products. I was prepared by the method of Gefter (CA 52, 19999d). The amine was carefully dried and reaction carried out in CCl4 over P2O5 by dropping I into excess of the dissolved amine with exclusion of atmospheric moisture. For the n-alkylamide, n-alkylamine was dissolved in CCl4, I added dropwise, the alkylammonium chloride filtered off, CCl4 distilled, the remaining oily product shaken with dilute K2CO3 solution,

and the amide crystallized from Et20. For the diethylamide, after removal of CC14, the residue was dissolved in EtOH and crystallized at -10°. The isopropylamide was crystallized at lower temperature tert-Butylamide was crystallized from

```
Et20. Anilide, benzylamide, cyclohexylamide, N-methylanilide, o-, m-, and
      p-toluidides, m-, and p-chloroanilides, and \alpha, and
      \beta-naphthylamides were crystallized from hot EtOH by cooling to -6^{\circ}.
      For the diphenylamide the residue was shaken with dilute NaOH, washed with
     H2O, and crystallized from EtOH. For 2-, 3-, 4-aminopyridides pyridine was added as acceptor, and after distillation of solvent the oily product obtained
      was treated with H2O and crystallized from EtOH. The following
      diphenylphosphinamides, PH2P(O)R were prepared (R, m.p., % yield given):
     NEt2, 141-2°, 25; PrNH, 90-3°, 46; iso-PrNH, 146-8°,
      53; BuNH, 93-5°, 56; tert-BuNH, 133-6°, 25; PhNH,
      242-4°, 85; PH2N, 105-6°, 15; PhMeN, 116-18°, 82;
      2-MeC6H4NH, 127-9°, 65; 3-MeC6H4NH, 250-50.5°, 87; 4-MeC6H4NH, 205-6° (sublimes 195°), 70; 3-ClC6H4NH,
      252-3°, 65; 4-ClC6H4NH, 215-16°, 74; PhCH2NH, 111-12°, 87; α-ClOH7-NH, 188-90°, 72; α-ClOH7NH,
      264-8°; 82; 2-NHC5H4N, 177-80°, 34; 3-NHC5H4N,
      203-4°, 35; 4-NHC5H4N, 173-4°, 42; cyclo-C6H11NH,
      197-7.5°, 82.
      97999-83-2, Phosphinic amide, P,P-diphenyl-N-4-pyridyl-
IT
         (preparation of)
      97999-83-2 CAPLUS
RN
CN
      Phosphinic amide, P,P-diphenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)
Ph-P-NH
   Ph
=> d his
      (FILE 'HOME' ENTERED AT 14:50:37 ON 09 MAR 2006)
      FILE 'REGISTRY' ENTERED AT 14:50:41 ON 09 MAR 2006
L1
                  STRUC
L2
               21 S L1
L3
              416 S L1 FUL
                  STRUC
L4
L5
                9 SEARCH L4 SSS SUB=L3 FUL
```

FILE 'CAPLUS' ENTERED AT 14:54:21 ON 09 MAR 2006

1 L6 AND (NERV?(L)(DAMAG? OR INJU?))

Pyridines for treating injured mammalian nerve tissue

Borgens, Richard B.; Shi, Riyi; Byrn, Stephen R.; Smith, Daniel T.

ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

11 S L5

393161 NERV? 378651 DAMAG? 150907 INJU?

2004:513486 CAPLUS

=> d bib abs hitstr

141:47362

=> s 16 and (nerv?(1)(damag? or inju?))

24021 NERV?(L)(DAMAG? OR INJU?)

L6

L7

L7 AN

DN

ΤI

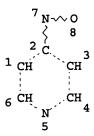
IN

PA Purdue Research Foundation, USA SO PCT Int. Appl., 51 pp. CODEN: PIXXD2 DTPatent LA English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE -----20031205 PΙ WO 2004052291 **A2** 20040624 WO 2003-US38834 WO 2004052291 Α3 20041014 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, 20040624 CA 2003-2508165 CA 2508165 AA 20031205 US 2003-730495 US 2004171587 A1 20040902 20031205 EP 1567497 A2 20050831 EP 2003-796756 20031205 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRAI US 2002-431637P Ρ 20021206 WO 2003-US38834 W 20031205 MARPAT 141:47362 OS AB The invention provides novel pyridines, pharmaceutical compns. comprising such pyridines, and the use of such compns. in treating injured mammalian nerve tissue, including but not limited to an injured spinal cord in one embodiment, the compds., compns., and methods of the instant invention treat a mammalian nerve tissue injury by restoring action potential or nerve impulse conduction through a nerve tissue lesion. Significantly, in vivo application of compds. of the instant invention established, on the basis of SSEP testing, that the compds. provide longer lasting effects at lower concns. than comparable treatment with the known agent 4-aminopyridine (4 AP). IT 21915-82-2P 97999-83-2P RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (pyridines for treating injured mammalian nerve tissue) RN21915-82-2 CAPLUS CN Phosphoramidic acid, 4-pyridinyl-, diphenyl ester (9CI) (CA INDEX NAME)

RN 97999-83-2 CAPLUS

CN Phosphinic amide, P,P-diphenyl-N-4-pyridinyl- (9CI) (CA INDEX NAME)

=> d 114 L14 HAS NO ANSWERS L14 ST



NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 8

STEREO ATTRIBUTES: NONE

=> search 114
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):13
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful
FULL SUBSET SEARCH INITIATED 15:00:17 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED - 394 TO ITERATE

100.0% PROCESSED 394 ITERATIONS SEARCH TIME: 00.00.01

394 ANSWERS

L15 394 SEA SUB=L3 SSS FUL L14

ENTER (DIS), GRA, NOD, BON OR ?:end L20 STRUCTURE CREATED

=> search 120

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET: subset ENTER SUBSET L# OR (END):13 ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful FULL SUBSET SEARCH INITIATED 15:02:51 FILE 'REGISTRY' FULL SUBSET SCREEN SEARCH COMPLETED - 59 TO ITERATE

100.0% PROCESSED

59 ITERATIONS

38 ANSWERS

SEARCH TIME: 00.00.01

L21 38 SEA SUB=L3 SSS FUL L20 => s 121 and (hydroxyamin? or pyridinam?)
22621 HYDROXYAMIN?
27974 PYRIDINAM?
L22
15 L21 AND (HYDROXYAMIN? OR PYRIDINAM?)

```
2004:220207 CAPLUS
AN
DN
     140:270868
     Preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase
ΤI
     inhibitors and anticancer agents
IN
     Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.;
     Girijavallabhan, Viyyoor Moopil; Knutson, Chad; Mckittrick, Brian;
     Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony;
     Park, Haengsoon
     Schering Corporation, USA; Pharmacopeia, Inc.
PA
SO
     PCT Int. Appl., 77 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LA
FAN.CNT 1
                                            APPLICATION NO.
     PATENT NO.
                         KIND
                                DATE
                                                                    DATE
PΙ
     WO 2004022062
                          A1
                                20040318
                                            WO 2003-US27564
                                                                    20030903
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,
             ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,
             MG, MK, MN, MX, MZ, NI, NO, NZ, PG, PH, PL, PT, RO, RU, SC, SE,
             SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA,
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2497539
                                20040318
                                            CA 2003-2497539
                          AΑ
                                                                    20030903
     AU 2003265901
                                20040329
                                            AU 2003-265901
                          Α1
                                                                    20030903
     US 2004102452
                                20040527
                                            US 2003-654163
                          Α1
                                                                    20030903
                                20050629
                                            EP 2003-794594
     EP 1545533
                          Α1
                                                                    20030903
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                          T2
                                            JP 2004-534490
     JP 2006500391
                                20060105
                                                                    20030903
PRAI US 2002-408182P
                          Ρ
                                20020904
     WO 2003-US27564
                          W
                                20030903
     MARPAT 140:270868
os
GI
```

$$\mathbb{R}^{3}$$
 $\mathbb{N}$ 
 $\mathbb{N$ 

AB The title compds. [I; Q = SO2NR6R7, CONR6R7, CO2R7; R2 = (un) substituted alkyl, alkynyl, alkynylalkyl, cycloalkyl, CF3, CO2R6, aryl, arylalkyl, heteroarylalkyl, heterocyclyl, etc., wherein aryl is optionally substituted; R3 = H, halogen, NR5R6, CONR5R6, CO2R4, each (un) substituted alkyl, alkynyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl, etc.; R4 = H, halo, alkyl; R5 = H, alkyl; R6 = H, each (un) substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl; R7 = each (un) substituted alkyl, cycloalkyl, aryl, heteroaryl, arylalkyl, or heteroarylalkyl; or R5 and R6 in the moiety -NR5R6, may be joined together to form an (un) substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared In its many embodiments, the present invention also provides

methods of preparing such compds., pharmaceutical compns. containing one or more

such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia, myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

IT 674297-87-1

CN

RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents for treating diseases, in particular various cancers, associated with cyclin dependent kinase)

RN 674297-87-1 CAPLUS

4-Pyridinamine, N-[(chlorocarbonyl)oxy]-, 1-oxide (9CI) (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
1998:208421 CAPLUS
AN
DN
     128:270729
     Naaladase compositions and methods for treating glutamate abnormality and
TI
     effecting neuronal activity in animals
IN
     Slusher, Barbara S.; Jackson, Paul F.; Tays, Kevin L.; Maclin, Keith M.
     Guilford Pharmaceuticals Inc., USA
PA
SO
     PCT Int. Appl., 235 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 17
     PATENT NO.
                        KIND
                               DATE
                                           APPLICATION NO.
                                                                   DATE
                                -----
                                           -----
                                                                   -----
                                           WO 1997-US14417
                         A1
                               19980402
                                                                   19970815
PΙ
     WO 9813044
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
            GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
            GN, ML, MR, NE, SN, TD, TG
                                           US 1996-718703
                         Α
                               19981020
                                                                   19960927
     US 5824662
     US 5795877
                         Α
                               19980818
                                           US 1996-775586
                                                                   19961231
    US 5863536
                         Α
                               19990126
                                           US 1996-778733
                                                                  19961231
    US 5962521
                        Α
                               19991005
                                           US 1997-825997
                                                                  19970404
                        Α
                               19990511
                                           US 1997-835572
     US 5902817
                                                                  19970409
                        Α
                               20000425
                                           US 1997-842360
     US 6054444
                                                                  19970424
                        Α
                               20000215
                                           US 1997-858985
     US 6025344
                                                                  19970527
     US 6046180
                        Α
                               20000404
                                           US 1997-863624
                                                                  19970527
     US 6017903
                        Α
                               20000125
                                           US 1997-884479
                                                                  19970627
    US 6004946
                        Α
                               19991221
                                           US 1997-889358
                                                                  19970708
     ZA 9707086
                        Α
                               19980630
                                           ZA 1997-7086
                                                                  19970808
     ZA 9707085
                        Α
                               19990208
                                           ZA 1997-7085
                                                                  19970808
     ZA 9707089
                        Α
                               19990323
                                           ZA 1997-7089
                                                                  19970808
     ZA 9707090
                         Α
                               19990323
                                           ZA 1997-7090
                                                                  19970808
                                           CA 1997-2264158
     CA 2264158
                         AA
                               19980402
                                                                   19970815
     AU 9741518
                                           AU 1997-41518
                         A1
                                19980417
                                                                   19970815
                                           EP 1997-939427
     EP 949922
                         A1
                               19991020
                                                                   19970815
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
     US 5985855
                                19991116
                                           US 1997-974975
                         Α
                                                                   19971120
     CA 2285906
                         AA
                                19981015
                                           CA 1998-2285906
                                                                   19980402
                                                                   19980402
     WO 9845256
                         A1
                                19981015
                                           WO 1998-US6382
            AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
            KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
            NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
            UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, ML, MR, NE, SN, TD, TG
    AU 9869451
                         A1
                               19981030
                                           AU 1998-69451
                                                                   19980402
    AU 742418
                                20020103
                         B2
    EP 973731
                                           EP 1998-915209
                                20000126
                         A1
                                                                   19980402
    EP 973731
                               20020828
                         B1
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, FI
                         T2
                               20011023
                                           JP 1998-542871
     JP 2001519809
                                                                   19980402
    AT 222889
                                           AT 1998-915209
                         E
                                20020915
                                                                   19980402
                         A1
    WO 9845257
                                           WO 1998-US6583
                               19981015
                                                                   19980403
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
```

```
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
                                19981030
                                            AU 1998-71002
                                                                     19980403
     AU 9871002
                          A1
                          A1
                                20000719
                                            EP 1998-917985
                                                                    19980403
     EP 1019369
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
                          T2
                                20011106
                                             JP 1998-542911
                                                                     19980403
     JP 2001521512
                                19981029
                                             WO 1998-US7522
                                                                     19980413
     WO 9847906
                          A1
             AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
         W:
             DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
             NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
             UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
     AU 9869723
                          A1
                                19981113
                                             AU 1998-69723
                                                                    19980413
     US 6288046
                                20010911
                                             US 1999-298866
                          B1
                                                                    19990426
                                20010807
                                             US 1999-322688
     US 6271245
                          B1
                                                                    19990601
                                             US 2001-880861
     US 2001044459
                          A1
                                20011122
                                                                    20010615
PRAI US 1996-718703
                          Α
                                19960927
     US 1996-775586
                          Α
                                19961231
     US 1996-778733
                          Α
                                19961231
     US 1997-825997
                          Α
                                19970404
     US 1997-835572
                          Α
                                19970409
     US 1997-842360
                          Α
                                19970424
     US 1997-858985
                        · A
                                19970527
     US 1997-863624
                          Α
                                19970527
     US 1997-884479
                          Α
                                19970627
                                19960617
     US 1996-665776
                          A2
                          W
     WO 1997-US14417
                                19970815
     WO 1998-US6382
                          W
                                19980402
     WO 1998-US6583
                          W
                                19980403
     WO 1998-US7522
                          W
                                19980413
                          A3
                                19990601
     US 1999-322688
     MARPAT 128:270729
os
GΙ
```

$$R^{1}$$
 $P$ 
 $CO_{2}H$ 

AB The present invention relates to a method of treating a glutamate abnormality and a method of effecting a neuronal activity in an animal using a NAALADase inhibitor I (R1 = H, C1-9 straight or branched alkyl, C2-9 straight or branched alkenyl, C3-8 cycloalkenyl, C5-7 cycloalkenyl and aryl, etc.; R2 = C1-9 straight or branched alkenyl, C3-8 cycloalkyl, C5-7 cycloalkenyl and aryl, etc.; X = O, organoamino; organomethylene), and a pharmaceutical composition comprising an effective amount of a NAALADase inhibitor for treating a glutamate abnormality and effecting a neuronal activity in an animal. Thus, reaction of Me O-benzylphosphinic acid (preparation given) with dibenzyl 2-methylenepentanedioate in the presence of Et3N/Me3SiCl in CH2Cl2 followed by treatment with Me3Al and Pd-catalyzed

hydrogenation gave title compound, 2-[(methylhydroxyphosphinyl)methyl]pentan edioic acid, MeP(O)(OH)CH2CH(CO2H)CH2CH2CO2H. The biol. activity of the compds. prepared is described and discussed in detail.

IT 205310-61-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of glutamate derived hydroxyphosphinylalkanoic acids and naaladase compns. and methods for treating glutamate abnormality and effecting neuronal activity in animals)

RN 205310-61-8 CAPLUS

CN Pentanedioic acid, 2-[2-(hydroxy-4-pyridinylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

1999:705213 CAPLUS AN DN 131:317798 Pharmaceutical compositions and methods of treating compulsive disorders ΤI using NAALADase inhibitors IN Slusher, Barbara S.; Jackson, Paul F.; Tays, Kevin L.; Maclin, Keith M. PΑ Guilford Pharmaceuticals Inc., USA U.S., 58 pp., Cont.-in-part of U.S. 5,824,662. SO CODEN: USXXAM DT Patent English LA FAN.CNT 17 KIND APPLICATION NO. PATENT NO. DATE ----------US 1997-899319 PΙ US 5977090 Α 19991102 19970723 A 19991102
A 19981020
A 19980818
A 19990126
A 19990511
A 20000215
A 20000404
A 20000125
A 19991221
A 19980630
A 19990208
A 19990323 US 5824662 US 1996-718703 19960927 19961231 19961231 US 5795877 US 1996-775586 US 5863536 US 1996-778733 19970404 US 5962521 US 1997-825997 US 5902817 US 1997-835572 19970409 US 6025344 US 1997-858985 19970527 US 6046180 US 1997-863624 19970527 US 6017903 US 1997-884479 19970627 US 6004946 US 1997-889358 19970708 ZA 1997-7086 ZA 9707086 19970808 ZA 9707085 ZA 1997-7085 19970808 ZA 9707089 A ZA 1997-7089 19990323 19970808 ZA 1997-7090 Α ZA 9707090 19990323 19970808 US 1997-974975 US 5985855 Α 19991116 19971120 US 6071965 US 1997-2147 Α 20000606 19971231 CA 2285906 AA 19981015 CA 1998-2285906 19980402 19980402 WO 9845256 A1 19981015 WO 1998-US6382 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG AU 1998-69451 19981030 19980402 AU 9869451 A1 AU 742418 20020103 B2 EP 1998-915209 EP 973731 A1 20000126 19980402 EP 973731 B1 20020828 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI JP 2001519809 JP 1998-542871 T2 20011023 19980402 AT 222889 E 20020915 AT 1998-915209 19980402 WO 1998-US6583 WO 9845257 **A**1 19981015 19980403 AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, W: DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG AU 1998-71002 EP 1998-917985 AU 9871002 19981030 A1 19980403 EP 1019369 20000719 **A1** 19980403 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2001521512

US 6271245

US 2001044459

T2

В1

A1

20011106

20010807

20011122

JP 1998-542911

US 1999-322688

US 2001-880861

19980403

19990601

20010615

PRAI	US	1996-718703	A2	19960927
	US	1996-775586	A2	19961231
	US	1996-778733	A2	19961231
	US	1997-825997	A2	19970404
	US	1997-835572	A2	19970409
	US	1997-858985	A2	19970527
	US	1997-863624	A2	19970527
	US	1997-884479	A2	19970627
	US	1996-665775	A2	19960617
	US	1996-665776	A2	19960617
	US	1997-842360	A2	19970424
	US	1997-864545	A2	19970528
	US	1997-899319	A2	19970723
	US	1997-900194	A2	19970729
	WO	1998-US6382	W	19980402
	WO	1998-US6583	W	19980403
	US	1999-322688	A3	19990601
O.C.	MAT	פספפר בינו האמנ		

OS MARPAT 131:317798

AB A pharmaceutical composition and a method for treating a compulsive disorder using a NAALADase inhibitor (Markush included) are provided.

IT 205310-61-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(NAALADase inhibitor preparation, pharmaceutical compns., and methods of treating compulsive disorders)

RN 205310-61-8 CAPLUS

CN Pentanedioic acid, 2-[2-(hydroxy-4-pyridinylamino)-2-oxoethyl]- (9CI) (CA INDEX NAME)

RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT